Claims:

1. Compound of the formula

where

R₁ is a) hydrogen, hydroxyl or amino; or

is b) C_1 - C_8 -alkyl, C_3 - C_8 -cycloalkyl, C_1 - C_8 -alkanoyl, C_1 - C_8 -alkoxycarbonyl, aryl- C_0 - C_4 -alkyl or heterocyclyl- C_0 - C_4 -alkyl, which radicals may be substituted by 1-4 C_1 - C_8 -alkyl, halogen, cyano, oxide, oxo, trifluoromethyl, C_1 - C_8 -alkoxy, C_1 - C_8 -alkoxycarbonyl, aryl or heterocyclyl;

R₂ is a) C₁-C₈-alkyl, C₃-C₈-cycloalkyl, C₁-C₈-alkylsulphonyl, C₃-C₈-cycloalkylsulphonyl, aryl-C₀-C₈-alkylsulphonyl, heterocyclylsulphonyl, C₃-C₁₂-cycloalkyl-C₁-C₈-alkanoyl, C₃-C₁₂-cycloalkyl-C₃-C₈-cycloalkanoyl, aryl-C₁-C₈-alkanoyl, aryl-C₃-C₈-cycloalkanoyl, C₁-C₈-alkanoyl, C₁-C₈-alkoxycarbonyl, optionally N-mono- or N,N-di-C₁-C₈-alkylated carbamoyl-C₀-C₈-alkyl, aryl-C₀-C₄-alkyl or heterocyclyl-C₀-C₄-alkyl, which radicals may be substituted by 1-4 C₁-C₈-alkyl, C₃-C₈-cycloalkyl, C₃-C₈-cycloalkoxy, amino, C₁₋₆-alkylamino, di-C₁₋₆-alkylamino, C₀-C₆-alkylcarbonylamino, halogen, cyano, hydroxyl, oxide, oxo, trifluoromethyl, C₁-C₈-alkoxy, optionally N-mono- or N,N-di-C₁-C₈-alkylated carbamoyl, C₁-C₈-alkoxycarbonyl, C₁₋₆-alkylene-dioxy, aryl or heterocyclyl; or

is b) together with R₁ and the nitrogen atom to which they are bonded, a saturated or partly unsaturated 4-8-membered heterocyclic ring which may contain an additional nitrogen, oxygen or sulphur atom or an -SO- or -SO2- group, in which case the additional nitrogen atom may optionally be substituted by C₁-C₈-alkyl, C₁-C₈-alkanoyl, C₁-C₈-alkoxycarbonyl, aryl or heterocyclyl radicals, and this heterocyclic ring may be part of a bicyclic or tricyclic ring system having a total of up to 16 members, and the second ring may also contain a nitrogen, oxygen or sulphur atom or an -SO- or -SO2- group, and the nitrogen atom of the second ring may optionally be substituted by C₁-C₈-alkyl, C₁-C₈-alkanoyl, C₁-C₈-alkoxycarbonyl, aryl or heterocyclyl radicals and all ring systems mentioned may be substituted by 1-4 C₁-C₈-alkyl, halogen, hydroxyl, oxide, oxo, trifluoromethyl, C₁-C₈-alkoxy, C₁-C₈-alkoxy-C₁-C₈-alkyl, C₁-C₈-alkyl-alkoxy-C₁-C₈-alkoxy-C₁-C₈-alkyl-alkoxy-C₁-C₈-alkoxy-C₁-C₈-alkyl-alkoxy-C₁-C₈-alkyl-alkoxy-C₁-C₈-alkyl-alkoxy-C₁-C₈-alkyl-alkoxy-C₁-C₈-alkyl-alkoxy-C₁-C₈-alkyl-alkoxy-C₁-C₈-alkyl-alkoxy-C₁-C₈-alkyl-alkoxy-C₁-C₈-alkyl-alkoxy-C₁-C₈-alkyl-alkoxy-C₁-C₈-alkyl-alkoxy-C₁-C₈-alkyl-alkoxy-C₁-C₈-alkyl-alkoxy-C₁-C₈-alkoxy-C₁-C₈-alkyl-alkoxy-C₁-C₈-alkyl-alkoxy-C₁-C₈-alkoxy-C₁-C₈-alkyl-alkoxy-C₁-C₈-al

amino, N,N-di- C_1 - C_8 -alkylamino, aryl- C_0 - C_4 -alkyl, aryloxy- C_0 - C_4 -alkyl, aryl- C_0 - C_4 -alkyl- C_1 - C_8 -alkoxy, aryloxy- C_0 - C_4 -alkyl- C_1 - C_8 -alkoxy, heterocyclyl- C_0 - C_4 -alkyl, heterocyclyl- C_0 - C_4 -alkyl- C_1 - C_8 -alkoxy or heterocyclyloxy- C_0 - C_4 -alkyl- C_1 - C_8 -alkoxy; R_3 is hydrogen, C_1 - C_8 -alkyl, C_1 - C_8 -alkoxycarbonyl or C_1 - C_8 -alkanoyl;

 R_4 is hydrogen, C_1 - C_8 -alkyl, C_1 - C_8 -alkoxycarbonyl or C_1 - C_8 -alkanoyl; R_5 are each independently hydrogen or C_1 - C_8 -alkyl, or, together with the carbon atom to which they are bonded, are a C_3 - C_8 -cycloalkylidene radical;

R is an optionally substituted unsaturated carbocyclic or heterocyclic radical; one of the X_1 and X_2 radicals is carbonyl and the other is methylene; or salt or prodrug thereof, or where one or more atoms are replaced by their stable, non-radioactive isotopes.

2. Compound of the formula I according to Claim 1, where

R₁ is a) hydrogen; or

is b) C₁-C₈-alkyl or C₃-C₈-cycloalkyl;

 R_2 is a) C_1 - C_8 -alkyl, C_3 - C_8 -cycloalkyl, C_1 - C_8 -alkanoyl, heterocyclyl- C_1 - C_8 -alkanoyl, C_3 - C_{12} -cycloalkyl- C_1 - C_8 -alkanoyl or aryl- C_1 - C_8 -alkanoyl, which radicals may be substituted by 1-4 C_1 - C_8 -alkyl, C_3 - C_8 -cycloalkyl, C_3 - C_8 -cycloalkoxy, C_{1-6} -alkylamino, cyano, halogen, hydroxyl, oxide, C_0 - C_6 -alkylcarbonylamino, C_1 - C_8 -alkoxy, oxo, trifluoromethyl or aryl; or

is b) together with R₁ and the nitrogen atom to which they are bonded, a saturated or partly unsaturated, 4-8-membered, heterocyclic ring which may contain an additional nitrogen or oxygen atom, in which case the additional nitrogen atom may optionally be substituted by C₁-C₈-alkyl or C₁-C₈-alkanoyl, and this heterocyclic ring may be part of a bicyclic or tricyclic ring system having a total of up to 16 members and the second ring may also contain a nitrogen or oxygen atom, and the nitrogen atom of the second ring may optionally be substituted by C₁-C₈-alkyl or C₁-C₈-alkanoyl, and all ring systems mentioned may be substituted by 1-4 C₁-C₈-alkyl, hydroxyl, oxo, oxide, C₁-C₈-alkoxy, C₁-C₈-alkoxy-C₁-C₈-alkylcarbonylamino or aryloxy-C₀-C₄-alkyl-C₁-C₈-alkoxy.

3. Compound of the formula I according to Claim 1, where

R is a 2-R_A-4-R_C-phenyl radical, 2-R_A-pyridin-3-yl radical or 3-R_A-pyridin-2-yl radical, where

 R_A is C_1 - C_4 -alkoxy- C_1 - C_4 -alkyl such as propyloxymethyl, morpholino- C_1 - C_4 -alkyl such as 2-morpholinoethyl or 3-morpholinopropyl, C_1 - C_8 -alkanoylpiperazino- C_1 - C_4 -alkyl such as N'-acetylpiperazinomethyl, C_1 - C_8 -alkoxy such as propyloxy, C_1 - C_4 -alkoxy- C_1 - C_5 -alkoxy such as 2-methoxyethoxy, 3-methoxypropyloxy, 4-methoxybutyloxy or 5-methoxypentyloxy, C_1 - C_4 -alkoxy- C_2 - C_4 -alkoxy- C_2 - C_4 -alkoxy such as 4-methoxybut-2-enyloxy, C_1 - C_4 -alkoxy- C_1 - C_4 -alkoxy- C_1 - C_4 -alkoxy such as 2-(methoxyethoxy)ethoxy or 2-(2-methoxyethoxy)ethoxy, amino- C_1 - C_4 -alkoxy such as 2-aminoethoxy or 3-aminopropyloxy, di- C_1 - C_4 -alkylamino- C_1 - C_4 -alkoxy such as 3-dimethylaminopropyloxy, C_1 - C_8 -alkanoyl-amino- C_1 - C_4 -alkoxy such as N-acetylaminoethoxy, C_1 - C_8 -alkanoyl-amino- C_1 - C_4 -alkyl such as N-acetylaminoethyl, carbamoyl- C_1 - C_4 -alkoxy such as 2-carbamoylethoxy or carbamoyl, and

 R_{C} is hydrogen, di- C_{1} - C_{4} -alkylamino- C_{1} - C_{4} -alkyl such as dimethylaminomethyl, piperidino- C_{1} - C_{4} -alkyl such as piperidinomethyl, pyrrolidino- C_{1} - C_{4} -alkyl such as pyrrolidinomethyl, morpholino- C_{1} - C_{4} -alkyl such as morpholinomethyl, C_{1} - C_{8} -alkanoylpiperazino- C_{1} - C_{4} -alkyl such as N'-acetylpiperazinomethyl, or C_{1} - C_{4} -alkylpiperazino- C_{1} - C_{4} -alkyl such as N'-methylpiperazinomethyl, morpholino, C_{1} - C_{4} -alkoxy such as methoxy, morpholino- C_{1} - C_{4} -alkoxy such as 2-morpholinoethoxy or 3-morpholinopropyloxy, morpholino- C_{1} - C_{4} -alkylcarbamoyl- C_{1} - C_{4} -alkoxy such as 2-piperidinoethoxy, carboxyl, carbamoyl, C_{1} - C_{4} -alkylcarbamoyl such as methylcarbamoyl, carboxy- C_{1} - C_{4} -alkoxy such as carboxymethoxy, di- C_{1} - C_{4} -alkylamino- C_{1} - C_{4} -alkoxy, such as 3-dimethylaminopropyloxy, C_{1} - C_{8} -alkylcarbamoyl- C_{1} - C_{4} -alkoxy such as butylcarbamoylmethoxy, or tetrazolyl- C_{1} - C_{4} -alkoxy, such as tetrazol-5-ylmethoxy,

4. Compound according to Claim 1 of the formula la

where R, R₁, R₂, R₃, R₄, R₅, X₁ and X₂ are each as defined in Claim 1.

PCT/EP2005/050274 WO 2005/070871

- 47 -

5. Compound according to Claim 1 of the formula la

where

R₁ is a) hydrogen; or

is b) C₁-C₈-alkyl or C₃-C₈-cycloalkyl;

R₂ is a) C₁-C₈-alkyl, C₃-C₈-cycloalkyl, C₁-C₈-alkanoyl, heterocyclyl-C₁-C₈-alkanoyl, C₃-C₁₂cycloalkyl-C₁-C₈-alkanoyl or aryl-C₁-C₈-alkanoyl, which radicals may be substituted by 1-4 C₁-C₈-alkyl, C₃-C₈-cycloalkyl, C₃-C₈-cycloalkoxy, C₁₋₈-alkylamino, cyano, halogen, hydroxyl, oxide, Co-C6-alkylcarbonylamino, C1-C8-alkoxy, oxo, trifluoromethyl or aryl; or

is b) together with R₁ and the nitrogen atom to which they are bonded, a saturated or partly unsaturated, 4-8-membered, heterocyclic ring which may contain an additional nitrogen or oxygen atom, in which case the additional nitrogen atom may optionally be substituted by C₁-C₈-alkyl or C₁-C₈-alkanoyl, and this heterocyclic ring may be part of a bicyclic or tricyclic ring system having a total of up to 16 members and the second ring may also contain a nitrogen or oxygen atom, and the nitrogen atom of the second ring may optionally be substituted by C₁-C₈-alkyl or C₁-C₈-alkanoyl, and all ring systems mentioned may be substituted by 1-4 C₁-C₈-alkyl, hydroxyl, oxo, oxide, C₁-C₈-alkoxy, C₁-C₈-alkoxy- C_1 - C_8 -alkoxy, C_1 - C_8 -alkylcarbonylamino or aryloxy- C_0 - C_4 -alkyl- C_1 - C_8 -alkoxy;

R₃ and R₄ are each hydrogen,

R₅ is C₁-C₄-alkyl, such as methyl or isopropyl,

R is a 2-R_A-4-R_C-phenyl radical, 2-R_A-pyridin-3-yl radical or 3-R_A-pyridin-2-yl radical, where

> R_A is C₁-C₄-alkoxy-C₁-C₄-alkyl such as propyloxymethyl, morpholino-C₁-C₄-alkyl such as 2-morpholinoethyl or 3-morpholinopropyl, C₁-C₈-alkanoylpiperazino-C₁-C₄alkyl such as N'-acetylpiperazinomethyl, C₁-C₈-alkoxy such as propyloxy, C₁-C₄alkoxy-C₁-C₅-alkoxy such as 2-methoxyethoxy, 3-methoxypropyloxy, 4-methoxybutyloxy or 5-methoxypentyloxy, C₁-C₄-alkoxy-C₂-C₄-alkenyloxy such as 4-methoxybut-2-enyloxy, C₁-C₄-alkoxy-C₁-C₄-alkoxy-C₁-C₄-alkoxy such as 2-(methoxymethoxy)ethoxy or 2-(2-methoxyethoxy)ethoxy, amino-C₁-C₄-alkoxy such as

2-aminoethoxy or 3-aminopropyloxy, di- C_1 - C_4 -alkylamino- C_1 - C_4 -alkoxy such as 3-dimethylaminopropyloxy, C_1 - C_8 -alkanoyl-amino- C_1 - C_4 -alkoxy such as N-acetylaminoethoxy, C_1 - C_8 -alkanoyl-amino- C_1 - C_4 -alkyl such as N-acetylaminoethyl, carbamoyl- C_1 - C_4 -alkoxy such as 2-carbamoylethoxy or carbamoyl, and

 R_{c} is hydrogen, di- C_{1} - C_{4} -alkylamino- C_{1} - C_{4} -alkyl such as dimethylaminomethyl, piperidino- C_{1} - C_{4} -alkyl such as piperidinomethyl, pyrrolidino- C_{1} - C_{4} -alkyl such as pyrrolidinomethyl, morpholino- C_{1} - C_{4} -alkyl such as morpholinomethyl, C_{1} - C_{8} -alkanoylpiperazino- C_{1} - C_{4} -alkyl such as N'-acetylpiperazinomethyl, or C_{1} - C_{4} -alkylpiperazino- C_{1} - C_{4} -alkyl such as N'-methylpiperazinomethyl, morpholino, C_{1} - C_{4} -alkoxy such as 2-morpholinoethoxy or 3-morpholinopropyloxy, morpholino- C_{1} - C_{4} -alkylcarbamoyl- C_{1} - C_{4} -alkoxy such as 2-piperidinoethoxy, carboxyl, carbamoyl, C_{1} - C_{4} -alkylcarbamoyl such as methylcarbamoyl, carboxyl, carbamoyl, C_{1} - C_{4} -alkylcarbamoyl such as methylcarbamoyl, carboxy- C_{1} - C_{4} -alkoxy such as carboxymethoxy, di- C_{1} - C_{4} -alkylamino- C_{1} - C_{4} -alkoxy, such as 3-dimethylaminopropyloxy, C_{1} - C_{8} -alkylcarbamoyl- C_{1} - C_{4} -alkoxy such as butylcarbamoylmethoxy, or tetrazolyl- C_{1} - C_{4} -alkoxy, such as tetrazol-5-ylmethoxy,

 X_1 is methylene and X_2 is carbonyl, or a salt thereof, in particular a pharmaceutically usable salt thereof.

- 6. Compound according to one of Claims 1-5 for use in a method for the therapeutic treatment of the human or animal body.
- 7. Pharmaceutical preparation comprising, as an active pharmaceutical ingredient, a compound according to one of Claim 1-5 in free form or as a pharmaceutically usable salt.
- 8. Use of a compound according to one of Claims 1-5 for preparing a pharmaceutical preparation having renin-inhibiting action.
- 9. Use of a compound according to one of Claims 1-5 for preparing a pharmaceutical preparation for the treatment or prevention of hypertension, heart failure, glaucoma, cardiac infarction, kidney failure or restensis.